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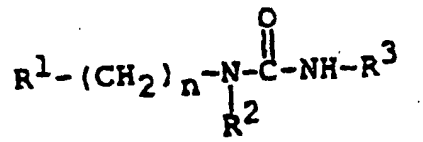
(54) Title: UREA DERIVATIVES AND THEIR USE AS ACAT-INHIBITORS

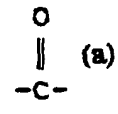
(57) Abstract

Urea derivatives of formula (I), wherein R^1 is a group of formula (1) (in which R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and Y is bond, lower alkylene, -S-, -O-, (a), -CH-, -CONH-, (b), (in which R^7 is lower alkyl), $-NHSO_2-$, $-SO_2NH-$, $-SO_2NHCO-$ or $-CONHSO_2-$); or thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s); R^2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl, R^3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable substituent(s), and n is 0 or 1, and a pharmaceutically acceptable salt thereof which are useful as a medicament in the treatment of hypercholesterolemia, hyperlipidemia and atherosclerosis.

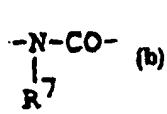


(I)

(1)



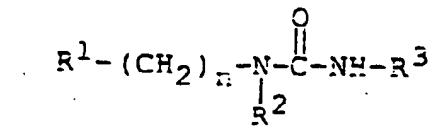
(a)



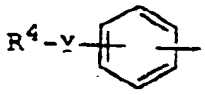
(b)

C L A I M S

1. A compound of the formula :



wherein
R^1 is a group of the formula :



(in which
R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and

Y is bond, lower alkylene, -S-, -O-, -C(=O)-, =CH-, -CONH-, -N(R7)-CO- (in which R^7 is lower alkyl), $-NHSO_2-$, $-SO_2NH-$, $-SO_2NHCO-$ or $-CONHSO_2-$); or

thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s);
R^2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl,
R^3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable

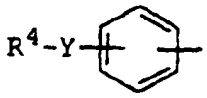
substituent(s), and

n is 0 or 1,

and a pharmaceutically acceptable salt thereof.

2. A compound of claim 1, wherein

R^1 is a group of the formula :



(in which

R^4 is phenyl which may have 1 to 3 substituent(s) selected from the group consisting of halogen, lower alkyl, di(lower)alkylamino, protected amino, cyano, heterocyclic group which may have mono(or di or tri)-ar(lower)alkyl, hydroxy, protected hydroxy and mono(or di or tri)halo(lower)alkyl; or thienyl, pyrazolyl, imidazolyl, triazolyl, pyridyl, pyrrolyl, tetrazolyl, oxazolyl, thiazolyl, oxadiazolyl, piperazinyl, thiazolidinyl or methylenedioxyphenyl, each of which may have 1 to 3 substituent(s) selected from the group consisting of lower alkyl, mono(or di or tri)ar(lower)alkyl and oxo;

Y is bond, lower alkylene, -S-, -O-, $-\overset{\overset{O}{\|}}{C}-$, =CH-, -CONH-, $-N(R^7)-CO-$ (in which R^7 is lower alkyl), $-NHSO_2-$, $-SO_2NH-$, $-SO_2NHCO-$ or $-CONHSO_2-$); or

thiazolyl, imidazolyl, pyrazolyl, pyridyl,